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PATENT

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In re: Kröpke, et al. Confirmation No.: 1406  
Appl. No.: 10/790,910  
Filed: March 1, 2004  
For: INCREASING THE SKIN-MOISTURIZING PROPERTIES OF POLYOLS

Mail Stop Amendment  
Commissioner for Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450

SUBMITTAL OF TRANSLATION OF PRIORITY DOCUMENT

Enclosed is a translation of the certified copy of German priority Application No. 101 42 931.2, filed September 1, 2001. A certified copy of the priority document was previously submitted on August 20, 2004.

Respectfully submitted,

Cynthia V. Hall  
Registration No. 56,544

**Customer No. 00826**  
**Alston & Bird LLP**  
Bank of America Plaza  
101 South Tryon Street, Suite 4000  
Charlotte, NC 28280-4000  
Tel Atlanta Office (404) 881-7000  
Fax Atlanta Office (404) 881-7777

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Director of RWS Group Ltd, of Europa House, Marsham Way, Gerrards Cross,  
Buckinghamshire, England declare;

1. That I am a citizen of the United Kingdom of Great Britain and Northern Ireland.
2. That the translator responsible for the attached translation is well acquainted with the German and English languages.
3. That the attached is, to the best of RWS Group Ltd knowledge and belief, a true translation into the English language of the accompanying copy of the specification filed with the application for a patent in Germany on 1 September 2001 under the number 101 42 931.2 and the official certificate attached hereto.
4. That I believe that all statements made herein of my own knowledge are true and that all statements made on information and belief are true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the patent application in the United States of America or any patent issuing thereon.



For and on behalf of RWS Group Ltd

The 21st day of June 2005

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**Priority Certificate  
for the filing of a Patent Application**

**File Reference:** 101 42 931.2

**Filing date:** 1 September 2001

**Applicant/Proprietor:** Beiersdorf AG, 20245 Hamburg/DE

**Title:** Increasing the skin-moisturizing properties of polyols

**IPC:** A 61 K 7/00

**The attached documents are a correct and accurate reproduction of the original submission for this Application.**

Munich, 30 July 2004

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**Increasing the skin-moisturizing properties of polyols**

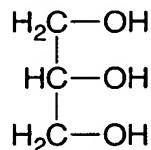
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The present invention relates to cosmetic and/or dermatological formulations comprising iminodisuccinic acid and/or its salts as well as polyols, in addition to other active compounds, auxiliaries and additives, and to their use.

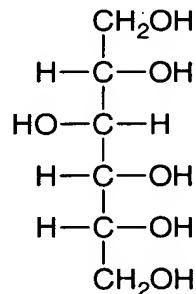
10 One of the most important tasks of cosmetic and/or dermatological formulations is moisturizing and moisture regulation of the skin. For this purpose, in addition to water as a constituent of all emulsions, so-called moisturizers are added to the formulations. Synthetic moisturizers are substitutes for the natural moisturizing factor (NMF), which comprises 40% of free amino acids, 12% of pyroglutamic acid, 12% of lactates, 7% of urea, 1.5% of uric acid  
15 and glucosamine, creatinine and various salts.

In addition to hydrolyzed proteins, polyols (polyhydric alcohols) are used above all as synthetic moisturizers.

20 The most important representative of the polyols is glycerol (glycerin, 1,2,3-propanetriol), a colorless and odorless, sweet-tasting liquid. Glycerol has the following structure:



25 Another important representative of the polyols is sorbitol, a pentahydric alcohol which occurs in rowanberries and can be obtained synthetically by reduction of glucose.



The moisture content of the skin can be determined by means of corneometric measurements. In these, the dielectric properties of the stratum corneum are investigated with the aid of a corneometer. The corneometer comprises a scatter capacitor, the capacity of which is (co-)determined by the dielectric properties of the stratum corneum. To determine how long the  
5 skin moisturization effected by a cosmetic and/or dermatological formulation lasts, the moisture content of the skin is determined under constant measuring conditions in each case before use and two hours after use of the cosmetic and/or dermatological formulation.

A great disadvantage of the prior art is that the moisturizing action of cosmetic and/or  
10 dermatological formulations on the skin as a rule is only of short duration, so that the object of the present invention was to develop cosmetic and/or dermatological formulations which moisturize the skin over a longer period of time.

Surprisingly, the object is achieved by cosmetic and/or dermatological formulations  
15 comprising iminodisuccinic acid and/or its salts as well as polyols, in addition to other active compounds, auxiliaries and additives.

In this context, a 0.001 to 15 wt.%, advantageously 0.01 to 10 wt.%, very particularly  
preferably 0.05 to 5 wt.% strength iminodisuccinic acid and/or salts thereof is advantageous  
20 according to the invention, the weight data in each case relating to the total weight of the formulation.

The iminodisuccinic compound which is advantageous according to the invention in this context is the tetrasodium salt.

25 The concentration of polyols which is advantageous according to the invention is 3 to 65% by weight, and in particular 5 to 25% by weight, in each case based on the total weight of the formulation.

30 In this context, the polyols glycerol, sorbitol and butylene glycol are particularly advantageous according to the invention.

The polyols can be employed in this context according to the invention in a concentration of 3 to 65% by weight, and in particular 5 to 25% by weight, in each case based on the total weight of the formulation.

5 According to the invention, the iminodisuccinic acid and/or its salts are used to increase the skin-moisturizing action of polyols. According to the invention, the increase in the skin-moisturizing action of polyols is at least 25% if 2 hours have passed after application of the formulation to the skin and if the skin moisture content is determined as follows:

10 Corneometer: CM 825 apparatus from Courage & K., Cologne  
Measurement conditions:  $21^{\circ}\text{C} \pm 1^{\circ}\text{C}$  and  $50 \pm 5\%$  atmospheric humidity  
at least 15 min acclimatization time  
Measurement times:  $t_0$  = immediately before application of the formulation  
 $t_1$  = 2 hours after application of the formulation

15 The cosmetic and/or dermatological formulations according to the invention can advantageously be used as skin care products, as face care products and as sunscreen compositions.

20 In the context of the invention, "skin care products" are understood here as meaning, inter alia, skin creams, skin lotions, milks, ointments, oils, balsams and sera which are used for care of the skin.

Face care products are used as a special form of skin care products for care of facial skin.

25 They are used in particular to prevent developing and/or reduce already existing wrinkles and folds.

According to the invention, face care products also include decorative cosmetics, the main purpose of which is to change the color of skin and skin appendages (e.g. eyelashes, eyebrows).

Sunscreen compositions in the context of the invention are to be understood as meaning all forms of formulations which comprise at least one UV light protection filter. They furthermore include so-called "aftersun products". These are intended to cool the skin after sunbathing

and to improve its moisture retention capacity, the imparting of the cooling effect playing a central role. This cooling effect is as a rule achieved by large amounts of ethanol and water, which evaporates spontaneously when the formulation is spread on the skin. The preparations furthermore usually comprise moisturizing agents, such as glycerol or propylene glycol, and antiinflammatory compounds, such as, for example, allantion,  $\alpha$ -bisabolol, panthenol or aloe vera extract.

The following examples are intended to illustrate the present invention without limiting it.

10 Unless stated otherwise, all the amounts data, contents and percentage contents are based on the weight and the total amount or on the total weight of the formulations.

**Examples****W/O emulsions**

	1	2	3	4	5
Triglycerol diisostearate	1.0	0.5	0.25	2.0	3.0
Diglycerol dipolyhydroxystearate	1.0	1.5	1.75	3.0	2.0
Paraffin oil	12.5	10.0	8.0	5.0	17.5
Vaseline	8.0	6.0	5.0	12.0	2.5
Hydrogenated coconut glycerides	2.0	1.0	2.5	5.0	0.25
Decyl oleate	0.5	0.75	1.0	2.0	0.25
Octyldodecanol	0.5	1.0	0.75	3.0	0.25
Aluminum stearate	0.4	0.3	0.6	1.0	0.05
Dicaprylyl carbonate	0.1	0.05	0.15	0.5	1.0
Hydrogenated castor oil	0.5	0.75	1.0	2.5	5.0
Iminodisuccinic acid	0.5	---	---	---	0.1
Magnesium sulfate	0.5	0.6	0.5	0.7	1.0
Glycerol	3.0	5.0	10.0	15.0	1.5
Tetrasodium iminosuccinate	---	0.6	1.5	0.4	---
Perfume	q.s,	q.s,	q.s,	q.s,	q.s,
Ethanol	2.0	---	5.0	---	---
Caprylic/capric acid triglyceride	2.0	2.5	3.0	5.0	0.5
Methyl paraben	0.4	0.15	0.05	0.3	0.4
Propyl paraben	0.3	0.4	0.25	0.15	---
Iodopropynyl butyl carbamate	---	---	0.05	---	0.1
Water	to 100	to 100	to 100	to 100	to 100

W/O emulsions

	<b>6</b>	<b>7</b>	<b>8</b>	<b>9</b>	<b>10</b>
PEG-30 dipolyhydroxystearate	---	0.5	0.25	---	3.0
Lanolin alcohol	1.0	1.5	1.75	3.0	--
Paraffin oil	12.5	10.0	8.0	5.0	17.5
Vaseline	8.0	6.0	5.0	12.0	2.5
Hydrogenated coconut glycerides	2.0	1.0	2.5	5.0	0.25
Hydrogenated polyisobutene	0.5	0.75	1.0	2.0	0.25
Octyldodecanol	0.5	1.0	0.75	3.0	0.25
Aluminum stearate	0.4	0.3	0.6	1.0	0.05
Dicaprylyl carbonate	0.1	0.05	0.15	0.5	1.0
Hydrogenated castor oil	0.5	0.75	1.0	2.5	5.0
Sorbitol	12.5	1.0	0.75	0.25	0.1
Magnesium sulfate	0.5	0.6	0.5	0.7	1.0
Glycerol	---	5.0	---	15.0	5.5
Tetrasodium iminosuccinate	1.5	0.6	3.0	0.4	1.0
Perfume	q.s,	q.s,	q.s,	q.s,	q.s,
1,3-Butylene glycol	---	---	5.0	---	7.5
Caprylic/capric acid triglyceride	2.0	2.5	3.0	5.0	0.5
Methyl paraben	0.4	0.15	0.05	0.3	0.4
Propyl paraben	0.3	0.4	0.25	0.15	---
Water	to 100	to 100	to 100	to 100	to 100

W/S emulsion

	<b>11</b>	<b>12</b>	<b>13</b>	<b>14</b>	<b>15</b>
Cetyl dimethicone copolyol	1.0	---	--	3.0	5.0
Cylomethicone + dimethicone copolyol	10.0	12.5	25	--	--
Cyclomethicone	12.5	15	28.0	25.0	17.5
Dimethicone	5.0	13.0	5.0	12.0	15.0
Hydrogenated polyisobutene	0.5	0.75	1.0	2.0	0.25
Octyldodecanol	0.5	1.0	0.75	3.0	0.25
Panthenol	0.5	1.0	0.75	0.25	0.1
Magnesium chloride	2.0	0.6	2.5	0.7	1.0
Glycerol	25.0	5.0	10.0	15.0	57.5
Tetrasodium iminosuccinate	0.6	0.1	1.2	0.15	5.0
Perfume	q.s,	q.s,	q.s,	q.s,	q.s,
Methyl paraben	0.4	0.1	0.05	0.3	0.4
Butylene glycol	---	5.0	---	---	7.5
Propyl paraben	0.3	0.4	0.25	0.15	---
Cetyltrimonium chloride	0.5	---	0.7	---	---
Iodopropynyl butyl carbamate	---	---	0.05	---	0.1
Modified starch	---	2.5	---	0.15	--
Water	to 100	to 100	to 100	to 100	to 100

O/W emulsions

	<b>16</b>	<b>17</b>	<b>18</b>	<b>19</b>	<b>20</b>
Glyceryl stearate citrate	2	---	---	---	---
Glyceryl sterate	---	5	2	3	---
PEG-40 stearate	---	---	1	---	---
Triglycerol methylglucose distearate	---	---	---	---	3
Sorbitan stearate	---	---	---	---	1
Cetearyl glucoside	---	---	---	2	---
Behenyl alcohol	---	---	---	---	1
Stearyl alcohol	2	1	---	---	---
Cetylstearyl alcohol	---	---	2	---	---
Hydrogenated coconut fatty glycerides	2	---	---	1	---
Shea butter	---	2	---	---	---
Butylene glycol dicaprylate/dicaprate	1	---	---	---	---
Caprylic/capric triglyceride	---	4	---	---	1
Ethylhexyl coconut fatty acid ester	3	---	---	---	---
Octyldodecanol	---	---	5	8	---
Mineral oil	8	1	---	---	5
Tetrasodium iminosuccinate	1	0.5	2.5	0.3	0.75
Vaseline	4	---	---	2	---
Octamethyltetrasiloxane	5	1	3	1	3
Dimethylpolysiloxane	---	3	1	3	2
Dicarpryl carbonat	10	1	8	5	2
Glycerol	3.0	---	25	12.5	30
Butylene glycol	18	15	---	---	---
Methyl paraben	0.3	---	---	0.2	0.4
Iodopropynyl butyl carbamate	0.1	0.2	0.2	0.05	---
Perfume	q.s.	q.s.	q.s.	q.s.	q.s.
Water	to 100				

O/W emulsions

	<b>21</b>	<b>22</b>	<b>23</b>	<b>24</b>	<b>25</b>
Glyceryl stearate citrate	5	---	---	---	---
Glyceryl sterate	---	5	---	---	---
PEG-40 stearate	---	2	---	---	---
Polyethylene glycol (21) stearyl ether	---	---	2	---	---
Polyethylene glycol (2) stearyl ether	---	---	1	---	---
Cetearyl glucoside	---	---	---	2	---
Stearic acid	---	---	---	---	2.5
Behenyl alcohol	---	---	---	---	2
Stearyl alcohol	2	1	---	5	---
Cetylstearyl alcohol	---	---	2	---	1
Hydrogenated coconut fatty glycerides	2	---	---	3	1
Shea butter	---	2	---	3	---
Butylene glycol dicaprylate/dicaprate	1	---	8	---	2
Caprylic/capric triglyceride	---	4	2	---	---
Ethylhexyl coconut fatty acid ester	3	6	---	---	2
Octyldodecanol	---	---	1	9	---
Mineral oil	9	1	1	1	3
Vaseline	4	2	5	0.5	0.75
Glycerol	7.5	15	65	25	---
Sorbitol	3.5	---	---	---	15
Tetrasodium iminosuccinate	1	0.5	2.5	1.25	0.75
Octamethyltetrasiloxane	---	1	2	5	---
Dimethylpolysiloxane	0.5	0.75	1.25	---	1
Dicarpryl carbonat	6	2	10	0.5	4
Methyl paraben	0.3	---	0.1	---	0.05
Iodopropynyl butyl carbamate	0.1	0.2	0.1	0.2	0.15
Perfume	q.s.	q.s.	q.s.	q.s.	q.s.
Water	to 100				

**Patent claims**

1. A cosmetic and/or dermatological formulation comprising
  - a) iminodisuccinic acid and/or its salts
  - b) polyols
- 5 in addition to other active compounds, auxiliaries and additives.
2. A cosmetic and/or dermatological formulation as claimed in claim 1, comprising iminodisuccinic acid and/or its salts in 0.001 to 15 wt.%, advantageously 0.01 to 10 wt.%, very particularly preferably 0.05 to 5 wt.% strength, in each case based on the total weight of the formulation.
- 10 3. A cosmetic and/or dermatological formulation as claimed in one of claims 1 or 2, comprising polyols in a concentration of 3 to 65% by weight, based on the total weight of the formulation.
4. A cosmetic and/or dermatological formulation as claimed in one of claims 1 to 3, characterized in that it comprises the tetrasodium salt of iminodisuccinic acid.
- 15 5. A cosmetic and/or dermatological formulation as claimed in one of claims 1 to 4, characterized in that glycerol, sorbitol and butylene glycol are employed as polyols.  
A cosmetic and/or dermatological formulation as claimed in one of claims 1 to 5, characterized in that the polyols are employed in the following concentrations: from 3 to 65% by weight, and in particular 5 from to 25% by weight, in each case based on the total weight  
20 of the formulation.
6. The use of iminodisuccinic acid and/or its salts to increase the skin-moisturizing action of polyols.
7. The use of cosmetic and/or dermatological formulations as claimed in one of the preceding claims as a skin care product.
- 25 8. The use of cosmetic and/or dermatological formulations as claimed in one of the preceding claims as a face care product.
9. The use of cosmetic and/or dermatological formulations as claimed in one of the preceding claims as a sunscreen composition.

**Abstract**

Cosmetic and/or dermatological formulations comprising

a) iminodisuccinic acid and/or its salts

b) polyols

5 in addition to other active compounds, auxiliaries and additives.